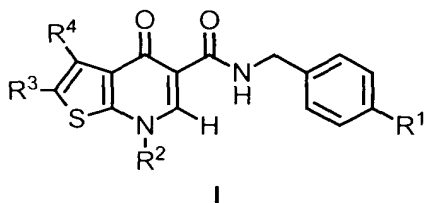


What is claimed is:

1. A method of treating atherosclerosis or restenosis in a mammal, comprising administering to said mammal an effective amount of a compound selected from the group consisting of structures of Formulae I, I' and II, wherein Formula I is



or a pharmaceutically acceptable salt, racemate, solvate, tautomer, optical isomer or prodrug derivative thereof, wherein,

R<sup>1</sup> is

- (a) Cl,
- (b) Br,
- (c) CN,
- (d) NO<sub>2</sub>, or
- (e) F;

R<sup>2</sup> is

- (a) H,
- (b) R<sup>5</sup>,
- (c) NR<sup>7</sup>R<sup>8</sup>,
- (d) SO<sub>2</sub>R<sup>9</sup>, or
- (e) OR<sup>9</sup>;

R<sup>3</sup> is

- (a) H,
- (b) halo,
- (c) aryl,
- (d) S(O)<sub>m</sub>R<sup>6</sup>,
- (e) (C=O)R<sup>6</sup>,
- (f) (C=O)OR<sup>9</sup>,
- (g) cyano,

- (h) het, wherein said het is bound via a carbon atom,
- (i)  $OR^{10}$ ,
- (j) Ohet,
- (k)  $NR^7R^8$
- (l)  $SR^{10}$ ,
- (m) Shet,
- (n)  $NHCOR^{12}$ ,
- (o)  $NHSO_2R^{12}$ , or
- (p)  $C_{1-7}$ alkyl which may be partially unsaturated and optionally substituted by one or more substituents of the group  $R^{11}$ ,  $OR^{13}$ ,  $SR^{10}$ ,  $SR^{13}$ ,  $NR^7R^8$ , halo,  $(C=O)C_{1-7}$ alkyl, or  $SO_mR^9$ ;

$R^4$  is

- (a) H,
- (b) halo,
- (c)  $C_{1-4}$ alkyl, or
- (d)  $R^4$  together with  $R^3$  form a carbocyclic or het, either of which may be optionally substituted by  $NR^7R^8$ , by  $C_{1-7}$ alkyl which may be optionally substituted by  $OR^{14}$ , or by het, wherein said het is bound via a carbon atom;

$R^5$  is

- (a)  $(CH_2CH_2O)_iR^{10}$ ,
- (b) het, wherein said het is bound via a carbon atom,
- (c) aryl,
- (d)  $C_{1-7}$ alkyl which may be partially unsaturated and is optionally substituted by one or more substituents selected from a group consisting of  $NR^7R^8$ ,  $R^{11}$ ,  $SO_mR^9$ , and  $OC_{2-4}$ alkyl which may be further substituted by het,  $OR^{10}$ , or  $NR^7R^8$ , or
- (e)  $C_{3-8}$ cycloalkyl which may be partially unsaturated and optionally substituted by one or more substituents selected from a group

consisting of  $R^{11}$ ,  $NR^7R^8$ ,  $SO_mR^9$ , and  $C_{1-7}$ alkyl optionally substituted by  $R^{11}$ ,  $NR^7R^8$ , or  $SO_mR^9$ ;

$R^6$  is

- (a)  $C_{1-7}$ alkyl,
- (b)  $NR^7R^8$ ,
- (c) aryl, or
- (d) het, wherein said het is bound via a carbon atom;

$R^7$  and  $R^8$  are independently

- (a) H,
- (b) aryl,
- (c)  $C_{1-7}$ alkyl which may be partially unsaturated and is optionally substituted by one or more substituents selected from a group consisting of  $NR^{10}R^{10}$ ,  $R^{11}$ ,  $SO_mR^9$ ,  $CONR^{10}R^{10}$ , or halo, or,
- (d)  $R^7$  and  $R^8$  together with the nitrogen to which they are attached form a het;

$R^9$  is

- (a) aryl,
- (b) het,
- (c)  $C_{3-8}$ cycloalkyl, or
- (d)  $C_{1-7}$ alkyl which may be partially unsaturated and is optionally substituted by one or more substituents selected from a group consisting of  $NR^{10}R^{10}$ ,  $R^{11}$ , SH,  $CONR^{10}R^{10}$ , or halo;

$R^{10}$  is

- (a) H, or
- (b)  $C_{1-7}$ alkyl optionally substituted by OH;

$R^{11}$  is

- (a)  $OR^{10}$ ,
- (b) Ohet,
- (c) Oaryl,
- (d)  $CO_2R^{10}$ ,
- (e) het,
- (f) aryl, or
- (g) CN;

R<sup>12</sup> is

- (a) H,
- (b) het,
- (c) aryl,
- (d) C<sub>3-8</sub>cycloalkyl, or
- (e) C<sub>1-7</sub>alkyl optionally substituted by NR<sup>7</sup>R<sup>8</sup> or R<sup>11</sup>;

R<sup>13</sup> is

- (a) (P=O)(OR<sup>14</sup>)<sub>2</sub>,
- (b) CO(CH<sub>2</sub>)<sub>n</sub>CON(CH<sub>3</sub>)-(CH<sub>2</sub>)<sub>n</sub>SO<sub>3</sub><sup>-</sup>M<sup>+</sup>,
- (c) an amino acid,
- (d) C(=O)aryl, or
- (e) C(=O)C<sub>1-7</sub>alkyl optionally substituted by NR<sup>7</sup>R<sup>8</sup>, aryl, het, CO<sub>2</sub>H, or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sup>14</sup>;

R<sup>14</sup> is

- (a) H, or
- (b) C<sub>1-7</sub>alkyl;

each i is independently 2, 3, or 4;

each n is independently 1, 2, 3, 4 or 5;

each m is independently 0, 1, or 2; and

M is sodium, potassium, or lithium;

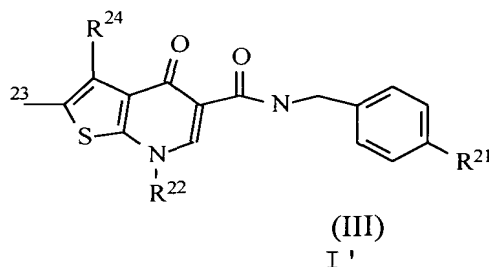
wherein any aryl is optionally substituted with one or more substituents selected from the group consisting of halo, OH, cyano, CO<sub>2</sub>R<sup>14</sup>, CF<sub>3</sub>, C<sub>1-6</sub>alkoxy, and C<sub>1-6</sub> alkyl which maybe further substituted by one to three SR<sup>14</sup>, NR<sup>14</sup>R<sup>14</sup>, OR<sup>14</sup>, het, and CO<sub>2</sub>R<sup>14</sup>; and

wherein any het is optionally substituted with one or more substituents selected from the group consisting of halo, OH, cyano, phenyl, CO<sub>2</sub>R<sup>14</sup>, CF<sub>3</sub>, C<sub>1-6</sub>alkoxy, oxo, oxime, and C<sub>1-6</sub> alkyl which maybe further substituted by one to three SR<sup>14</sup>, NR<sup>14</sup>R<sup>14</sup>, OR<sup>14</sup>, and CO<sub>2</sub>R<sup>14</sup>.

aryl, in Formula I, denotes a phenyl radical or an ortho-fused bicyclic carbocyclic radical having about nine to ten ring atoms in which at least one ring is aromatic. Het is a four- (4), five- (5), six- (6), or

seven- (7) membered saturated or unsaturated heterocyclic ring having 1, 2, 3, or 4 heteroatoms selected from the group consisting of oxy, thio, sulfinyl, sulfonyl, and nitrogen, which is optionally fused to a benzene ring, or any bicyclic heterocycle group;

het, in Formula I, includes "heteroaryl," which encompasses a radical attached via a ring carbon of a monocyclic aromatic ring containing five or six ring atoms consisting of carbon and 1, 2, 3, or 4 heteroatoms each selected from the group consisting of non-peroxide oxy, thio, and N(X) wherein X is absent or is H, O, C<sub>1-4</sub>alkyl, phenyl or benzyl, as well as a radical of an ortho-fused bicyclic heterocycle of about eight to ten ring atoms derived therefrom, particularly a benz-derivative or one derived by fusing a propylene, trimethylene, or tetramethylene diradical thereto; and wherein Formula I' is



or a pharmaceutically acceptable salt, racemate, solvate, tautomer, optical isomer or prodrug derivative thereof wherein,

R<sup>21</sup> is Cl, Br, CN, or NO<sub>2</sub>;

R<sup>22</sup> is H, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>n</sub>H, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>n</sub>CH<sub>3</sub>, SO<sub>2</sub>R<sup>35</sup> or COR<sup>35</sup>, C<sub>1-7</sub>alkyl which may be partially unsaturated and optionally substituted by R<sup>36</sup>, C<sub>2-7</sub>alkyl which may be partially unsaturated and optionally substituted by R<sup>33</sup>, or C<sub>3-8</sub>cycloalkyl which may be partially unsaturated and optionally substituted by R<sup>36</sup>, R<sup>33</sup> or R<sup>34</sup>;

each  $R^{23}$  and  $R^{24}$  is independently H, halo, aryl,  $S(O)_mR^{30}$ ,  $COR^{30}$ , cyano, het,  $CF_3$ ,  $OR^{29}$ ,  $OR^{31}$ ,  $SR^{29}$ ,  $SR^{31}$ ,  $NR^{25}R^{26}$ ,  $CH(OR^{29})R^{27}$ ,  $CO_2R^{29}$ ,  $CH(CO_2R^{29})_2$ ,  $NHCOR^{27}$ , or  $NHS(O)_2R^{27}$  or  $C_{1-7}$ alkyl which may be partially unsaturated and optionally substituted by  $R^{28}$ ;

each  $R^{25}$  and  $R^{26}$  is independently H or  $C_{1-7}$ alkyl;

$R^{27}$  is  $C_{1-7}$ alkyl optionally substituted by  $R^{36}$  or  $C_{2-7}$ alkyl optionally substituted by  $R^{33}$ ;

$R^{28}$  is cyano, halo,  $CF_3$ , aryl, het,  $C(=O)C_{1-7}$ alkyl,  $CO_2C_{1-7}$ alkyl,  $OR^{29}$ ,  $OR^{31}$ ,  $OR^{32}$ ,  $SR^{29}$ ,  $SR^{31}$ ,  $SR^{32}$ ,  $NR^{25}R^{26}$ ,  $CH(OR^{29})R^{27}$ ,  $CO_2R^{29}$  or  $CH(CO_2R^{29})_2$ ;

$R^{29}$  is H or  $C_{1-7}$ alkyl;

$R^{30}$  is  $C_{1-7}$ alkyl,  $NR^{25}R^{26}$ , aryl or het;

$R^{31}$  is  $C_{2-7}$ alkyl substituted by OH;

$R^{32}$  is  $(P=O)(OR^{29})_2$ ,  $CO(CH_2)_nCON(CH_3)-(CH_2)_nSO_3^-M^+$ , an amino acid,  $C(=O)$ aryl, or  $C(=O)C_{1-7}$ alkyl optionally substituted by  $NR^{25}R^{26}$ , aryl, het, carboxy, or  $O(CH_2)_nCO_2R^{29}$ ;

$R^{33}$  is hydroxy or  $NR^{25}R^{26}$ ;

$R^{34}$  is  $C_{1-7}$ alkyl optionally substituted  $R^{33}$ ;

$R^{35}$  is  $C_{1-7}$ alkyl, aryl or het;

$R^{36}$  is  $CO_2H$  or  $CO_2C_{1-7}$ alkyl

each n is independently 1, 2, 3, 4, or 5;

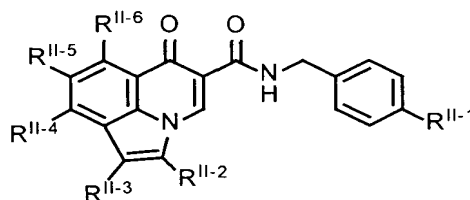
each m is independently 0, 1, or 2;

M is a pharmaceutically acceptable cation (e.g. sodium, potassium, or lithium);

wherein any aryl, or het in Formula I' is optionally substituted with one or more substituents (e.g. 1, 2, 3, 4, or 5) independently selected from the group consisting of halo, cyano, trifluoromethyl, trifluoromethoxy, hydroxy, carboxy,  $OR^{27}$ , phenyl, phenoxy,  $(C_{1-7}alkoxy)carbonyl$ ,  $SR^{31}$ , and  $C_{1-7}$ alkyl optionally substituted with one or more substituents independently

selected from the group consisting of cyano, aryl, mercapto, het,  $R^{36}$ ,  $OR^{27}$ ,  $SR^{27}$ , and  $SR^{31}$ ; wherein phenyl or phenoxy is optionally substituted with one or more substituents independently selected from cyano, halo, trifluoromethyl, trifluoromethoxy, carboxy, het,  $OR^{31}$ , and  $R^{27}$ ,

wherein Formula II is



II

or a pharmaceutically acceptable salt, racemate, solvate, tautomer, optical isomer or prodrug derivative thereof wherein:

$R^{II-1}$  is

- (a) F,
- (b) Cl,
- (c) Br,
- (d) CN or
- (e)  $NO_2$ ;

$R^{II-2}$  and  $R^{II-3}$  are independently

- (a) H,
- (b) halo,
- (c)  $OR^{II-11}$ ,
- (d)  $C(=O)R^{II-7}$ ,
- (e)  $C(=O)OR^{II-11}$ ,
- (f)  $C_{3-8}$ cycloalkyl, or
- (g)  $C_{1-7}$ alkyl which may be partially unsaturated and optionally substituted by one or more halo,  $C_{3-8}$ cycloalkyl,  $R^{II-12}$ ,  $OR^{II-14}$ ,  $SR^{II-11}$ ,  $SR^{II-14}$ ,

$\text{NR}^{\text{II}-8}\text{R}^{\text{II}-9}$ ,  $\text{NR}^{\text{II}-11}\text{C}(\text{O})\text{R}^{\text{II}-7}$ ,  $(\text{C}=\text{O})\text{C}_{1-7}$  alkyl, or  $\text{SO}_m\text{R}^{\text{II}-10}$ ;

$\text{R}^{\text{II}-4}$ , and  $\text{R}^{\text{II}-5}$  are independently

- (a) H,
- (b) halo,
- (c) aryl,
- (d)  $\text{S}(\text{O})_m\text{R}^{\text{II}-7}$ ,
- (e)  $(\text{C}=\text{O})\text{R}^{\text{II}-7}$ ,
- (f)  $(\text{C}=\text{O})\text{OR}^{\text{II}-10}$ ,
- (g) CN,
- (h) het, wherein said het is bound via a carbon atom,
- (i)  $\text{OR}^{\text{II}-11}$ ,
- (j) Ohet,
- (k)  $\text{NR}^{\text{II}-8}\text{R}^{\text{II}-9}$
- (l)  $\text{SR}^{\text{II}-11}$ ,
- (m) Shet,
- (n)  $\text{NHCOR}^{\text{II}-13}$ ,
- (o)  $\text{NHSO}_2\text{R}^{\text{II}-13}$ ,
- (p)  $\text{C}_{3-8}$ cycloalkyl, or
- (q)  $\text{C}_{1-7}$ alkyl which may be partially unsaturated and optionally substituted by one or more  $\text{R}^{\text{II}-12}$ ,  $\text{OR}^{\text{II}-14}$ ,  $\text{SR}^{\text{II}-11}$ ,  $\text{SR}^{\text{II}-14}$ ,  $\text{NR}^{\text{II}-8}\text{R}^{\text{II}-9}$ , halo,  $\text{C}_{3-8}$ cycloalkyl,  $(\text{C}=\text{O})\text{C}_{1-7}$ alkyl, or  $\text{SO}_m\text{R}^{\text{II}-10}$ ;

$\text{R}^{\text{II}-6}$  is

- (a) H,
- (b) halo,
- (c)  $\text{C}_{3-8}$ cycloalkyl, or
- (d)  $\text{C}_{1-4}$ alkyl optionally substituted by 1-3 halo;

$\text{R}^{\text{II}-7}$  is

- (a)  $\text{C}_{1-7}$ alkyl,
- (b)  $\text{C}_{3-8}$ cycloalkyl,



- (c)  $\text{NR}^{\text{II}-8}\text{R}^{\text{II}-9}$ ,
- (d) aryl, or
- (e) het, wherein said het is bonded via a carbon atom;

$\text{R}^{\text{II}-8}$  and  $\text{R}^{\text{II}-9}$  are independently

- (a) H,
- (b) aryl,
- (c)  $\text{C}_{3-8}$ cycloalkyl,
- (d)  $\text{C}_{1-7}$ alkyl which may be partially unsaturated and is optionally substituted by one or more  $\text{NR}^{\text{II}-11}\text{R}^{\text{II}-1}$ ,  $\text{R}^{\text{II}-12}$ ,  $\text{SO}_m\text{R}^{\text{II}-10}$ ,  $\text{CONR}^{\text{II}-11}\text{R}^{\text{II}-11}$ , OH, aryl, het,  $\text{C}_{3-8}$ cycloalkyl, or halo, or
- (e)  $\text{R}^{\text{II}-8}$  and  $\text{R}^{\text{II}-9}$  together with the nitrogen to which they are attached for a het;

$\text{R}^{\text{II}-10}$  is

- (a) aryl,
- (b) het,
- (c)  $\text{C}_{3-8}$ cycloalkyl, or
- (d)  $\text{C}_{1-7}$ alkyl which may be partially unsaturated and is optionally substituted by one or more  $\text{NR}^{\text{II}-11}\text{R}^{\text{II}-11}$ ,  $\text{R}^{\text{II}-12}$ , SH,  $\text{CONR}^{\text{II}-11}\text{R}^{\text{II}-11}$ ,  $\text{C}_{3-8}$ cycloalkyl, or halo;

$\text{R}^{\text{II}-11}$  is

- (a) H,
- (b) aryl,
- (c)  $\text{C}_{3-8}$ cycloalkyl, or
- (d)  $\text{C}_{1-7}$ alkyl optionally substituted by OH;

$\text{R}^{\text{II}-12}$  is

- (a)  $\text{OR}^{\text{II}-11}$ ,
- (b) Ohet,
- (c) Oaryl,
- (d)  $\text{CO}_2\text{R}^{\text{II}-11}$ ,

- (e) het,
  - (f) aryl, or
  - (g) CN;
- $R^{II-13}$  is
- (a) H,
  - (b) het,
  - (c) aryl,
  - (d)  $C_{3-8}$ cycloalkyl, or
  - (e)  $C_{1-7}$ alkyl optionally substituted by  $NR^{II-11}R^{II-11}$  or  $R^{II-12}$ ;
- $R^{II-14}$  is
- (a)  $(P=O)(OR^{II-15})_2$ ,
  - (b)  $CO(CH_2)_nCON(CH_3)-(CH_2)_nSO_3^-M^+$ ,
  - (c) an amino acid,
  - (d)  $C(=O)aryl$ , or
  - (e)  $C(=O)C_{1-7}$ alkyl optionally substituted by  $NR^{II-11}R^{II-11}$ , aryl, het,  $CO_2H$ , or  $O(CH_2)_nCO_2R^{II-15}$ ;
- $R^{II-15}$  is
- (a) H, or
  - (b)  $C_{1-7}$ alkyl;

aryl, in Formula II, is a phenyl radical or an ortho-fused bicyclic carbocyclic radical wherein at least one ring is aromatic; at each occurrence, aryl may be additionally substituted with one or more halo, CN,  $CO_2R^{II-11}$ ,  $SR^{II-11}$ ,  $OR^{II-11}$ ,  $NR^{II-11}R^{II-11}$ ,  $C_{1-4}$ alkyl,  $CF_3$ , or  $C_{3-8}$ cycloalkyl;

het, in Formula II, is a four- (4), five- (5), six- (6), or seven- (7) membered saturated or unsaturated heterocyclic ring having 1, 2, or 3 heteroatoms selected from the group consisting of O,  $SO_m$ , and NX; wherein X is

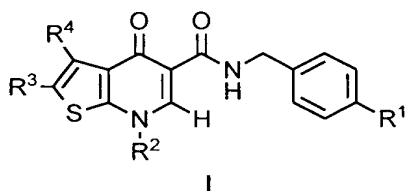
H, C<sub>1-4</sub>alkyl or absence, wherein het is optionally fused to a benzene ring, or any bicyclic heterocycle group; at each occurrence, het may be additionally substituted with one or more halo, CN, CO<sub>2</sub>R<sup>II-11</sup>, SR<sup>II-11</sup>, OR<sup>II-11</sup>, NR<sup>II-11</sup>R<sup>II-11</sup>, C(=O)R<sup>II-13</sup>, C<sub>1-4</sub>alkyl, CF<sub>3</sub>, C<sub>3-8</sub>cycloalkyl, oxo or oxime;

at each occurrence, m is independently 0, 1, or 2;

at each occurrence, n is independently 1, 2, 3, 4, 5 or 6; and

M is sodium, potassium, or lithium.

2. The method of claim 1 wherein the compound administered has the Formula I



or a pharmaceutically acceptable salt, racemate, solvate, tautomer, optical isomer or prodrug derivative thereof, wherein,

R<sup>1</sup> is

- (a) Cl,
- (b) Br,
- (c) CN,
- (d) NO<sub>2</sub>, or
- (e) F;

R<sup>2</sup> is

- (a) H,
- (b) R<sup>5</sup>,
- (c) NR<sup>7</sup>R<sup>8</sup>,
- (d) SO<sub>2</sub>R<sup>9</sup>, or
- (e) OR<sup>9</sup>;

R<sup>3</sup> is

- (a) H,

- (b) halo,
- (c) aryl,
- (d)  $S(O)_mR^6$ ,
- (e)  $(C=O)R^6$ ,
- (f)  $(C=O)OR^9$ ,
- (g) cyano,
- (h) het, wherein said het is bound via a carbon atom,
- (i)  $OR^{10}$ ,
- (j) Ohet,
- (k)  $NR^7R^8$
- (l)  $SR^{10}$ ,
- (m) Shet,
- (n)  $NHCOR^{12}$ ,
- (o)  $NHSO_2R^{12}$ , or
- (p)  $C_{1-7}$ alkyl which may be partially unsaturated and optionally substituted by one or more substituents of the group  $R^{11}$ ,  $OR^{13}$ ,  $SR^{10}$ ,  $SR^{13}$ ,  $NR^7R^8$ , halo,  $(C=O)C_{1-7}$ alkyl, or  $SO_mR^9$ ;

$R^4$  is

- (a) H,
- (b) halo,
- (c)  $C_{1-4}$ alkyl, or
- (d)  $R^4$  together with  $R^3$  form a carbocyclic or het, either of which may be optionally substituted by  $NR^7R^8$ , by  $C_{1-7}$ alkyl which may be optionally substituted by  $OR^{14}$ , or by het, wherein said het is bound via a carbon atom;

$R^5$  is

- (a)  $(CH_2CH_2O)_iR^{10}$ ,
- (b) het, wherein said het is bound via a carbon atom,
- (c) aryl,
- (d)  $C_{1-7}$ alkyl which may be partially unsaturated and is optionally substituted by one or more

substituents selected from a group consisting of  $\text{NR}^7\text{R}^8$ ,  $\text{R}^{11}$ ,  $\text{SO}_m\text{R}^9$ , and  $\text{OC}_{2-4}\text{alkyl}$  which may be further substituted by het,  $\text{OR}^{10}$ , or  $\text{NR}^7\text{R}^8$ , or

(e)  $\text{C}_{3-8}\text{cycloalkyl}$  which may be partially unsaturated and optionally substituted by one or more substituents selected from a group consisting of  $\text{R}^{11}$ ,  $\text{NR}^7\text{R}^8$ ,  $\text{SO}_m\text{R}^9$ , and  $\text{C}_{1-7}\text{alkyl}$  optionally substituted by  $\text{R}^{11}$ ,  $\text{NR}^7\text{R}^8$ , or  $\text{SO}_m\text{R}^9$ ;

$\text{R}^6$  is

- (a)  $\text{C}_{1-7}\text{alkyl}$ ,
- (b)  $\text{NR}^7\text{R}^8$ ,
- (c) aryl, or
- (d) het, wherein said het is bound via a carbon atom;

$\text{R}^7$  and  $\text{R}^8$  are independently

- (a) H,
- (b) aryl,
- (c)  $\text{C}_{1-7}\text{alkyl}$  which may be partially unsaturated and is optionally substituted by one or more substituents selected from a group consisting of  $\text{NR}^{10}\text{R}^{10}$ ,  $\text{R}^{11}$ ,  $\text{SO}_m\text{R}^9$ ,  $\text{CONR}^{10}\text{R}^{10}$ , or halo, or,
- (d)  $\text{R}^7$  and  $\text{R}^8$  together with the nitrogen to which they are attached form a het;

$\text{R}^9$  is

- (a) aryl,
- (b) het,
- (c)  $\text{C}_{3-8}\text{cycloalkyl}$ , or
- (d)  $\text{C}_{1-7}\text{alkyl}$  which may be partially unsaturated and is optionally substituted by one or more substituents selected from a group consisting of  $\text{NR}^{10}\text{R}^{10}$ ,  $\text{R}^{11}$ , SH,  $\text{CONR}^{10}\text{R}^{10}$ , or halo;

$\text{R}^{10}$  is

- (a) H, or
- (b)  $\text{C}_{1-7}\text{alkyl}$  optionally substituted by OH;

$\text{R}^{11}$  is

- (a)  $\text{OR}^{10}$ ,

- (b) Ohet,
- (c) Oaryl,
- (d)  $\text{CO}_2\text{R}^{10}$ ,
- (e) het,
- (f) aryl, or
- (g) CN;

$\text{R}^{12}$  is

- (a) H,
- (b) het,
- (c) aryl,
- (d)  $\text{C}_{3-8}\text{cycloalkyl}$ , or
- (e)  $\text{C}_{1-7}\text{alkyl}$  optionally substituted by  $\text{NR}^7\text{R}^8$  or  $\text{R}^{11}$ ;

$\text{R}^{13}$  is

- (a)  $(\text{P}=\text{O})(\text{OR}^{14})_2$ ,
- (b)  $\text{CO}(\text{CH}_2)_n\text{CON}(\text{CH}_3) - (\text{CH}_2)_n\text{SO}_3^-\text{M}^+$ ,
- (c) an amino acid,
- (d)  $\text{C}(=\text{O})\text{aryl}$ , or
- (e)  $\text{C}(=\text{O})\text{C}_{1-7}\text{alkyl}$  optionally substituted by  $\text{NR}^7\text{R}^8$ , aryl, het,  $\text{CO}_2\text{H}$ , or  $\text{O}(\text{CH}_2)_n\text{CO}_2\text{R}^{14}$ ;

$\text{R}^{14}$  is

- (a) H, or
- (b)  $\text{C}_{1-7}\text{alkyl}$ ;

each  $i$  is independently 2, 3, or 4;

each  $n$  is independently 1, 2, 3, 4 or 5;

each  $m$  is independently 0, 1, or 2; and

$\text{M}$  is sodium, potassium, or lithium;

wherein any aryl is optionally substituted with one or more substituents selected from the group consisting of halo, OH, cyano,  $\text{CO}_2\text{R}^{14}$ ,  $\text{CF}_3$ ,  $\text{C}_{1-6}\text{alkoxy}$ , and  $\text{C}_{1-6}\text{alkyl}$  which maybe further substituted by one to three  $\text{SR}^{14}$ ,  $\text{NR}^{14}\text{R}^{14}$ ,  $\text{OR}^{14}$ , het, and  $\text{CO}_2\text{R}^{14}$ ; and

wherein any het is optionally substituted with one or more substituents selected from the group consisting of halo, OH, cyano, phenyl,  $\text{CO}_2\text{R}^{14}$ ,  $\text{CF}_3$ ,  $\text{C}_{1-6}\text{alkoxy}$ , oxo, oxime, and  $\text{C}_{1-6}\text{alkyl}$  which maybe further substituted by one to three  $\text{SR}^{14}$ ,  $\text{NR}^{14}\text{R}^{14}$ ,  $\text{OR}^{14}$ , and  $\text{CO}_2\text{R}^{14}$ ;

aryl denotes a phenyl radical or an ortho-fused bicyclic carbocyclic radical having about nine to ten ring atoms in which at least one ring is aromatic. Het is a four- (4), five- (5), six- (6), or seven- (7) membered saturated or unsaturated heterocyclic ring having 1, 2, 3, or 4 heteroatoms selected from the group consisting of oxy, thio, sulfinyl, sulfonyl, and nitrogen, which is optionally fused to a benzene ring, or any bicyclic heterocycle group;

het includes "heteroaryl," which encompasses a radical attached via a ring carbon of a monocyclic aromatic ring containing five or six ring atoms consisting of carbon and 1, 2, 3, or 4 heteroatoms each selected from the group consisting of non-peroxide oxy, thio, and N(X) wherein X is absent or is H, O, C<sub>1-4</sub>alkyl, phenyl or benzyl, as well as a radical of an ortho-fused bicyclic heterocycle of about eight to ten ring atoms derived therefrom, particularly a benz-derivative or one derived by fusing a propylene, trimethylene, or tetramethylene diradical thereto.

3. The method of Claim 2, wherein R<sup>1</sup> is F, Cl or Br.

4. The method of Claim 2, wherein the compound administered is:

(1) N-(4-Chlorobenzyl)-4-hydroxythieno[2,3-*b*]pyridine-5-carboxamide;

(2) N-(4-Chlorobenzyl)-4-hydroxy-2-iodothieno[2,3-*b*]pyridine-5-carboxamide;

(3) N-(4-Chlorobenzyl)-4-hydroxy-2-(4-morpholinylsulfonyl)thieno[2,3-*b*]-pyridine-5-carboxamide;

- (4) 2-Bromo-*N*-(4-chlorobenzyl)-4-hydroxythieno[2,3-*b*]pyridine-5-carboxamide;
- (5) *N*-(4-Chlorobenzyl)-4-hydroxy-2-(3-hydroxy-1-propynyl)thieno[2,3-*b*]pyridine-5-carboxamide;
- (6) *N*-(4-Chlorobenzyl)-4-hydroxy-2-(3-methoxy-1-propynyl)thieno[2,3-*b*]pyridine-5-carboxamide;
- (7) *N*-(4-Chlorobenzyl)-4-hydroxy-2-(4-hydroxy-1-butynyl)thieno[2,3-*b*]pyridine-5-carboxamide;
- (8) *N*-(4-Chlorobenzyl)-4-hydroxy-2-(3-hydroxypropyl)thieno[2,3-*b*]pyridine-5-carboxamide;
- (9) *N*-(4-Chlorobenzyl)-2-cyano-4-hydroxythieno[2,3-*b*]pyridine-5-carboxamide;
- (10) Dimethyl 2-[3-(5-[(4-chlorobenzyl)amino]carbonyl)-4-hydroxythieno[2,3-*b*]pyridin-2-yl)-2-propynyl]malonate;
- (11) 2-Bromo-*N*-(4-chlorobenzyl)-7-ethyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (12) *N*-(4-Chlorobenzyl)-7-ethyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (13) *N*-(4-Chlorobenzyl)-7-ethyl-2-iodo-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (14) *N*-(4-Chlorobenzyl)-7-ethyl-2-(3-hydroxy-1-propynyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (15) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-hydroxy-1-butynyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (16) *N*-(4-Chlorobenzyl)-7-ethyl-2-(3-hydroxypropyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;



- (17) *N*-(4-Chlorobenzyl)-7-(2-hydroxyethyl)-2-(3-hydroxy-1-propynyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (18) *N*-(4-Chlorobenzyl)-7-[2-(diethylamino)ethyl]-2-(3-hydroxy-1-propynyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (19) 2-[5-{[(4-Chlorobenzyl)amino]carbonyl}-2-(3-hydroxy-1-propynyl)-4-oxothieno[2,3-*b*]pyridin-7(4*H*)-yl]acetic acid;
- (20) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-hydroxybutyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (21) *N*-(4-Chlorobenzyl)-7-(2-hydroxyethyl)-2-(3-hydroxypropyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (22) *N*-(4-Chlorobenzyl)-7-[2-(diethylamino)ethyl]-2-(3-hydroxypropyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (23) *N*-(4-Chlorobenzyl)-2-iodo-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (24) *N*-(4-Chlorobenzyl)-2-(3-hydroxy-1-propynyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (25) *N*-(4-Chlorobenzyl)-2-(3-hydroxypropyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (26) *N*-(4-Chlorobenzyl)-2-iodo-7-isopropyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (27) *N*-(4-Chlorobenzyl)-2-(3-hydroxy-1-propynyl)-7-isopropyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

- (28) *N*-(4-Chlorobenzyl)-2-(3-hydroxypropyl)-7-isopropyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (29) 4-{{3-(5-{{(4-Chlorobenzyl)amino}carbonyl}-7-ethyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridin-2-yl)-2-propynyl}oxy}-4-oxobutanoic acid;
- (30) 3-(5-{{(4-Chlorobenzyl)amino}carbonyl}-7-ethyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridin-2-yl)-2-propynyl 2-(4-morpholinyl)acetate;
- (31) 3-(5-{{(4-Chlorobenzyl)amino}carbonyl}-7-ethyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridin-2-yl)-2-propynyl 2-amino-3-methylbutanoate;
- (32) 3-(5-{{(4-Chlorobenzyl)amino}carbonyl}-7-ethyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridin-2-yl)-2-propynyl 3-(4-morpholinylmethyl)benzoate;
- (33) Methyl-5-{{4-chlorobenzyl)amino}carbonyl}-4-hydroxythienol[2,3-*b*]pyridine-2-carboxylate;
- (34) *N*-(4-Chlorobenzyl)-4-hydroxy-2-(hydroxymethyl)thieno[2,3-*b*]pyridine-5-carboxamide;
- (35) *N*-(4-chlorobenzyl)-2-(hydroxymethyl)-7-methyl-4-oxo-4,7-dihydrothienol[2,3-*b*]pyridine-5-carboxamide;
- (36) *N*-(4-chlorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothienol[2,3-*b*]pyridine-5-carboxamide;
- (37) *N*-(4-chlorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (38) *N*-(4-chlorobenzyl)-7-methyl-4-oxo-2-(4-thiomorpholinylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

- (39) *N*-(4-chlorobenzyl)-2-(((2-hydroxy-2-(4-hydroxyphenyl)ethyl)(methyl)amino)methyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (40) *N*-(4-chlorobenzyl)-2-(((2-hydroxy-2-phenylethyl)(methyl)amino)methyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (41) *N*-(4-chlorobenzyl)-4-hydroxy-2-(4-morpholinylmethyl)thieno[2,3-*b*]pyridine-5-carboxamide;
- (42) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (43) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-propyl-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (44) *N*-(4-Chlorobenzyl)-7-isopropyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (45) *N*-(4-Fluorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (46) *N*-(4-bromobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (47) *N*-(4-chlorobenzyl)-4-hydroxy-2-(4-morpholinylcarbonyl)thieno[2,3-*b*]pyridine-5-carboxamide;
- (48) *N*-(4-chlorobenzyl)-7-methyl-2-(4-morpholinylcarbonyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (49) 7-Benzyl-*N*-(4-chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(50) *N*-(4-Chlorobenzyl)-7-(3-fluorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(51) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(3-phenylpropyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(52) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(tetrahydro-2-furanylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(53) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-[2-(1-pyrrolidinyl)ethyl]-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(54) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(3-pyridinylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(55) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(4-pyridinylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide; or

a pharmaceutically acceptable salt thereof.

5. The method of Claim 2, wherein the compound administered is:

(1) *N*-(4-Chlorobenzyl)-7-ethyl-2-(3-hydroxy-1-propynyl)-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(2) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-hydroxy-1-butynyl)-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(3) *N*-(4-Chlorobenzyl)-7-ethyl-2-(3-hydroxypropyl)-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

- (4) *N*-(4-Chlorobenzyl)-7-(2-hydroxyethyl)-2-(3-hydroxy-1-propynyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (5) *N*-(4-Chlorobenzyl)-7-[2-(diethylamino)ethyl]-2-(3-hydroxy-1-propynyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (6) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-hydroxybutyl)-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;
- (7) *N*-(4-Chlorobenzyl)-7-(2-hydroxyethyl)-2-(3-hydroxypropyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (8) *N*-(4-Chlorobenzyl)-7-[2-(diethylamino)ethyl]-2-(3-hydroxypropyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (9) *N*-(4-Chlorobenzyl)-2-iodo-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]-pyridine-5-carboxamide;
- (10) *N*-(4-Chlorobenzyl)-2-(3-hydroxy-1-propynyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (11) *N*-(4-Chlorobenzyl)-2-(3-hydroxypropyl)-7-methyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;
- (12) *N*-(4-Chlorobenzyl)-2-iodo-7-isopropyl-4-oxo-4,7-dihydrothieno[2,3-*b*]-pyridine-5-carboxamide;
- (13) *N*-(4-Chlorobenzyl)-2-(3-hydroxy-1-propynyl)-7-isopropyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (14) *N*-(4-Chlorobenzyl)-2-(3-hydroxypropyl)-7-isopropyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

- (15) 4-{[3-(5-{[(4-Chlorobenzyl)amino]carbonyl}-7-ethyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridin-2-yl)-2-propynyl]oxy}-4-oxobutanoic acid;
- (16) 3-(5-{[(4-Chlorobenzyl)amino]carbonyl}-7-ethyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridin-2-yl)-2-propynyl 2-(4-morpholinyl)acetate;
- (17) 3-(5-{[(4-Chlorobenzyl)amino]carbonyl}-7-ethyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridin-2-yl)-2-propynyl 2-amino-3-methylbutanoate;
- (18) 3-(5-{[(4-Chlorobenzyl)amino]carbonyl}-7-ethyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridin-2-yl)-2-propynyl 3-(4-morpholinylmethyl)benzoate;
- (19) *N*-(4-chlorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothienol[2,3-*b*]pyridine-5-carboxamide;
- (20) *N*-(4-chlorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (21) *N*-(4-chlorobenzyl)-7-methyl-4-oxo-2-(4-thiomorpholinylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (22) *N*-(4-chlorobenzyl)-2-(((2-hydroxy-2-(4-hydroxyphenyl)ethyl)(methyl)amino)methyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (23) *N*-(4-chlorobenzyl)-2-(((2-hydroxy-2-phenylethyl)(methyl)amino)methyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;
- (24) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(25) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-propyl-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(26) *N*-(4-Chlorobenzyl)-7-isopropyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(27) *N*-(4-Fluorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(28) *N*-(4-bromobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(29) 7-Benzyl-*N*-(4-chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(30) *N*-(4-Chlorobenzyl)-7-(3-fluorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(31) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(3-phenylpropyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(32) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(tetrahydro-2-furanylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(33) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-[2-(1-pyrrolidinyl)ethyl]-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(34) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(3-pyridinylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(35) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(4-pyridinylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide; or

a pharmaceutically acceptable salt thereof.

6. The method of Claim 2, wherein the compound administered is:

(1) *N*-(4-Chlorobenzyl)-7-ethyl-2-(3-hydroxy-1-propynyl)-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(2) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-hydroxy-1-butynyl)-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(3) *N*-(4-Chlorobenzyl)-7-ethyl-2-(3-hydroxypropyl)-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(4) *N*-(4-Chlorobenzyl)-7-(2-hydroxyethyl)-2-(3-hydroxy-1-propynyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(5) *N*-(4-Chlorobenzyl)-7-[2-(diethylamino)ethyl]-2-(3-hydroxy-1-propynyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(6) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-hydroxybutyl)-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(7) *N*-(4-Chlorobenzyl)-7-(2-hydroxyethyl)-2-(3-hydroxypropyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(8) *N*-(4-Chlorobenzyl)-7-[2-(diethylamino)ethyl]-2-(3-hydroxypropyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(9) *N*-(4-Chlorobenzyl)-2-iodo-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;



(10) *N*-(4-Chlorobenzyl)-2-(3-hydroxy-1-propynyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(11) *N*-(4-Chlorobenzyl)-2-(3-hydroxypropyl)-7-methyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(12) *N*-(4-Chlorobenzyl)-2-iodo-7-isopropyl-4-oxo-4,7-dihydrothieno[2,3-*b*]-pyridine-5-carboxamide;

(13) *N*-(4-Chlorobenzyl)-2-(3-hydroxy-1-propynyl)-7-isopropyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(14) *N*-(4-Chlorobenzyl)-2-(3-hydroxypropyl)-7-isopropyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(15) *N*-(4-chlorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(16) *N*-(4-chlorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(17) *N*-(4-chlorobenzyl)-7-methyl-4-oxo-2-(4-thiomorpholinylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(18) *N*-(4-chlorobenzyl)-2-(((2-hydroxy-2-(4-hydroxyphenyl)ethyl)(methyl)amino)methyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(19) *N*-(4-chlorobenzyl)-2-(((2-hydroxy-2-phenylethyl)(methyl)amino)methyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(20) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(21) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-propyl-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(22) *N*-(4-Chlorobenzyl)-7-isopropyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(23) *N*-(4-Fluorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(24) *N*-(4-bromobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(25) 7-Benzyl-*N*-(4-chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(26) *N*-(4-Chlorobenzyl)-7-(3-fluorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(27) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(tetrahydro-2-furanylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(28) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-[2-(1-pyrrolidinyl)ethyl]-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(29) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(3-pyridinylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(30) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-(4-pyridinylmethyl)-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide; or

a pharmaceutically acceptable salt thereof.

7. The method of Claim 2, wherein the compound administered is:

(1) *N*-(4-Chlorobenzyl)-7-[2-(diethylamino)ethyl]-2-(3-hydroxypropyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(2) *N*-(4-Chlorobenzyl)-2-(3-hydroxy-1-propynyl)-7-methyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(3) *N*-(4-Chlorobenzyl)-2-(3-hydroxypropyl)-7-methyl-4-oxo-4,7-dihydro-thieno[2,3-*b*]pyridine-5-carboxamide;

(4) *N*-(4-chlorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(5) *N*-(4-chlorobenzyl)-2-(((2-hydroxy-2-(4-hydroxyphenyl)ethyl)(methyl)amino)methyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(6) *N*-(4-chlorobenzyl)-2-(((2-hydroxy-2-phenylethyl)(methyl)amino)methyl)-7-methyl-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

(7) *N*-(4-Chlorobenzyl)-7-ethyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide;

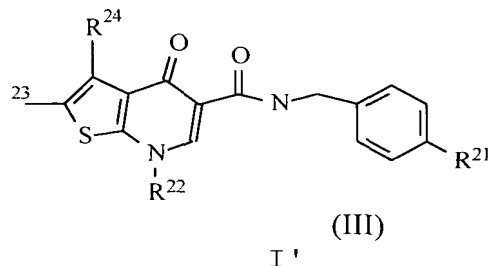
(8) *N*-(4-Chlorobenzyl)-2-(4-morpholinylmethyl)-4-oxo-7-propyl-4,7-dihydrothieno[2,3-*b*]pyridine-5-carboxamide; or

a pharmaceutically acceptable salt thereof.

8. The method of Claim 2, wherein the compound administered is *N*-(4-chlorobenzyl)-7-methyl-2-(4-morpholinylmethyl)-4-oxo-4,7-dihydrothieno[2,3-

b]pyridine-5-carboxamide or a pharmaceutically acceptable salt thereof.

9. The method of Claim 1, wherein the compound administered has the Formula I'



or a pharmaceutically acceptable salt, racemate, solvate, tautomer, optical isomer or prodrug derivative thereof wherein,

$R^{21}$  is Cl, Br, CN, or  $\text{NO}_2$ ;

$R^{22}$  is H,  $-(\text{CH}_2\text{CH}_2\text{O})_n\text{H}$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_n\text{CH}_3$ ,  $\text{SO}_2\text{R}^{35}$  or  $\text{COR}^{35}$ ,  $\text{C}_{1-7}$ alkyl which may be partially unsaturated and optionally substituted by  $\text{R}^{36}$ ,  $\text{C}_{2-7}$ alkyl which may be partially unsaturated and optionally substituted by  $\text{R}^{33}$ , or  $\text{C}_{3-8}$ cycloalkyl which may be partially unsaturated and optionally substituted by  $\text{R}^{36}$ ,  $\text{R}^{33}$  or  $\text{R}^{34}$ ;

each  $\text{R}^{23}$  and  $\text{R}^{24}$  is independently H, halo, aryl,  $\text{S}(\text{O})_m\text{R}^{30}$ ,  $\text{COR}^{30}$ , cyano, het,  $\text{CF}_3$ ,  $\text{OR}^{29}$ ,  $\text{OR}^{31}$ ,  $\text{SR}^{29}$ ,  $\text{SR}^{31}$ ,  $\text{NR}^{25}\text{R}^{26}$ ,  $\text{CH}(\text{OR}^{29})\text{R}^{27}$ ,  $\text{CO}_2\text{R}^{29}$ ,  $\text{CH}(\text{CO}_2\text{R}^{29})_2$ ,  $\text{NHCOR}^{27}$ , or  $\text{NHS}(\text{O})_2\text{R}^{27}$  or  $\text{C}_{1-7}$ alkyl which may be partially unsaturated and optionally substituted by  $\text{R}^{28}$ ;

each  $\text{R}^{25}$  and  $\text{R}^{26}$  is independently H or  $\text{C}_{1-7}$ alkyl;

$\text{R}^{27}$  is  $\text{C}_{1-7}$ alkyl optionally substituted by  $\text{R}^{36}$  or  $\text{C}_{2-7}$ alkyl optionally substituted by  $\text{R}^{33}$ ;

$\text{R}^{28}$  is cyano, halo,  $\text{CF}_3$ , aryl, het,  $\text{C}(=\text{O})\text{C}_{1-7}$  alkyl,  $\text{CO}_2\text{C}_{1-7}$ alkyl,  $\text{OR}^{29}$ ,  $\text{OR}^{31}$ ,  $\text{OR}^{32}$ ,  $\text{SR}^{29}$ ,  $\text{SR}^{31}$ ,  $\text{SR}^{32}$ ,  $\text{NR}^{25}\text{R}^{26}$ ,  $\text{CH}(\text{OR}^{29})\text{R}^{27}$ ,  $\text{CO}_2\text{R}^{29}$  or  $\text{CH}(\text{CO}_2\text{R}^{29})_2$ ;

$\text{R}^{29}$  is H or  $\text{C}_{1-7}$ alkyl;

R<sup>30</sup> is C<sub>1-7</sub>alkyl, NR<sup>25</sup>R<sup>26</sup>, aryl or het;

R<sup>31</sup> is C<sub>2-7</sub>alkyl substituted by OH;

R<sup>32</sup> is (P=O)(OR<sup>29</sup>)<sub>2</sub>, CO(CH<sub>2</sub>)<sub>n</sub>CON(CH<sub>3</sub>)-(CH<sub>2</sub>)<sub>n</sub>SO<sub>3</sub><sup>-</sup>M<sup>+</sup>, an amino acid, C(=O)aryl, or C(=O)C<sub>1-7</sub>alkyl optionally substituted by NR<sup>25</sup>R<sup>26</sup>, aryl, het, carboxy, or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sup>29</sup>;

R<sup>33</sup> is hydroxy or NR<sup>25</sup>R<sup>26</sup>;

R<sup>34</sup> is C<sub>1-7</sub>alkyl optionally substituted R<sup>33</sup>;

R<sup>35</sup> is C<sub>1-7</sub>alkyl, aryl or het;

R<sup>36</sup> is CO<sub>2</sub>H or CO<sub>2</sub>C<sub>1-7</sub>alkyl

each n is independently 1, 2, 3, 4, or 5;

each m is independently 0, 1, or 2;

M is a pharmaceutically acceptable cation (e.g. sodium, potassium, or lithium);

wherein any aryl, or het is optionally substituted with one or more substituents (e.g. 1, 2, 3, 4, or 5) independently selected from the group consisting of halo, cyano, trifluoromethyl, trifluoromethoxy, hydroxy, carboxy, OR<sup>27</sup>, phenyl, phenoxy, (C<sub>1-7</sub>alkoxy)carbonyl, SR<sup>31</sup>, and C<sub>1-7</sub>alkyl optionally substituted with one or more substituents independently selected from the group consisting of cyano, aryl, mercapto, het, R<sup>36</sup>, OR<sup>27</sup>, SR<sup>27</sup>, and SR<sup>31</sup>; wherein phenyl or phenoxy is optionally substituted with one or more substituents independently selected from cyano, halo, trifluoromethyl, trifluoromethoxy, carboxy, het, OR<sup>31</sup>, and R<sup>27</sup>.

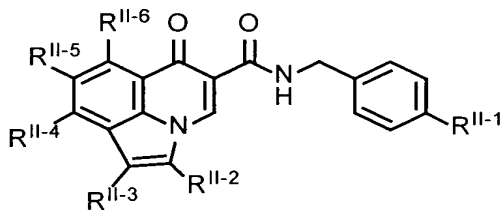
10. A method of Claim 9, wherein a specific value for  $R^{21}$  is Cl.

11. A method of Claim 9, wherein a specific value for  $R^{21}$  is CN, or  $\text{NO}_2$ .

12. A method of Claim 9, with the proviso that when  $R^{21}$  is Cl and  $R^{22}$  is H,  $R^{23}$  is other than  $\text{CH}_2\text{OH}$ .

13. A method of Claim 9, wherein the compound administered has the formula I or I' and wherein any aryl, or het is optionally substituted with one or two substituents selected from the group consisting of halo, cyano, het trifluoromethyl, trifluoromethoxy, hydroxy  $\text{C}_{1-7}$ alkoxy, and  $\text{C}_{1-7}$ alkyl; or a pharmaceutically acceptable salt thereof.

14. The method of Claim 1, wherein the compound administered has the Formula II



II

or a pharmaceutically acceptable salt, racemate, solvate, tautomer, optical isomer or prodrug derivative thereof wherein:

$R^{II-1}$  is

- (a) F,
- (b) Cl,
- (c) Br,

(d) CN or

(e) NO<sub>2</sub>;

R<sup>II-2</sup> and R<sup>II-3</sup> are independently

(a) H,

(b) halo,

(c) OR<sup>II-11</sup>,

(d) C(=O)R<sup>II-7</sup>,

(e) C(=O)OR<sup>II-11</sup>,

(f) C<sub>3-8</sub>cycloalkyl, or

(g) C<sub>1-7</sub>alkyl which may be partially unsaturated and optionally substituted by one or more halo, C<sub>3-8</sub>cycloalkyl, R<sup>II-12</sup>, OR<sup>II-14</sup>, SR<sup>II-11</sup>, SR<sup>II-14</sup>, NR<sup>II-8</sup>R<sup>II-9</sup>, NR<sup>II-11</sup>C(O)R<sup>II-7</sup>, (C=O)C<sub>1-7</sub> alkyl, or SO<sub>m</sub>R<sup>II-10</sup>;

R<sup>II-4</sup>, and R<sup>II-5</sup> are independently

(a) H,

(b) halo,

(c) aryl,

(d) S(O)<sub>m</sub>R<sup>II-7</sup>,

(e) (C=O)R<sup>II-7</sup>,

(f) (C=O)OR<sup>II-10</sup>,

(g) CN,

(h) het, wherein said het is bound via a carbon atom,

(i) OR<sup>II-11</sup>,

(j) Ohet,

(k) NR<sup>II-8</sup>R<sup>II-9</sup>

(l) SR<sup>II-11</sup>,

(m) Shet,

(n) NHCOR<sup>II-13</sup>,

(o) NHSO<sub>2</sub>R<sup>II-13</sup>,

(p) C<sub>3-8</sub>cycloalkyl, or

- (q) C<sub>1-7</sub>alkyl which may be partially unsaturated and optionally substituted by one or more R<sup>II-12</sup>, OR<sup>II-14</sup>, SR<sup>II-11</sup>, SR<sup>II-14</sup>, NR<sup>II-8</sup>R<sup>II-9</sup>, halo, C<sub>3-8</sub>cycloalkyl, (C=O)C<sub>1-7</sub>alkyl, or SO<sub>m</sub>R<sup>II-10</sup>;

R<sup>II-6</sup> is

- (a) H,
- (b) halo,
- (c) C<sub>3-8</sub>cycloalkyl, or
- (d) C<sub>1-4</sub>alkyl optionally substituted by 1-3 halo;

R<sup>II-7</sup> is

- (a) C<sub>1-7</sub>alkyl,
- (b) C<sub>3-8</sub>cycloalkyl,
- (c) NR<sup>II-8</sup>R<sup>II-9</sup>,
- (d) aryl, or
- (e) het, wherein said het is bonded via a carbon atom;

R<sup>II-8</sup> and R<sup>II-9</sup> are independently

- (a) H,
- (b) aryl,
- (c) C<sub>3-8</sub>cycloalkyl,
- (d) C<sub>1-7</sub>alkyl which may be partially unsaturated and is optionally substituted by one or more NR<sup>II-11</sup>R<sup>II-1</sup>, R<sup>II-12</sup>, SO<sub>m</sub>R<sup>II-10</sup>, CONR<sup>II-11</sup>R<sup>II-11</sup>, OH, aryl, het, C<sub>3-8</sub>cycloalkyl, or halo, or
- (e) R<sup>II-8</sup> and R<sup>II-9</sup> together with the nitrogen to which they are attached for a het;

R<sup>II-10</sup> is

- (a) aryl,
- (b) het,
- (c) C<sub>3-8</sub>cycloalkyl, or
- (e) C<sub>1-7</sub>alkyl which may be partially unsaturated and is optionally substituted by one or more NR<sup>II-11</sup>R<sup>II-11</sup>, R<sup>II-12</sup>, SH, CONR<sup>II-11</sup>R<sup>II-11</sup>,



- C<sub>3-8</sub>Cycloalkyl, or halo;
- R<sup>II-11</sup> is
- (a) H,
  - (b) aryl,
  - (c) C<sub>3-8</sub>Cycloalkyl, or
  - (d) C<sub>1-7</sub>alkyl optionally substituted by OH;
- R<sup>II-12</sup> is
- (a) OR<sup>II-11</sup>,
  - (b) Ohet,
  - (c) Oaryl,
  - (d) CO<sub>2</sub>R<sup>II-11</sup>,
  - (e) het,
  - (f) aryl, or
  - (g) CN;
- R<sup>II-13</sup> is
- (a) H,
  - (b) het,
  - (c) aryl,
  - (d) C<sub>3-8</sub>Cycloalkyl, or
  - (e) C<sub>1-7</sub>alkyl optionally substituted by NR<sup>II-11</sup>R<sup>II-11</sup> or R<sup>II-12</sup>;
- R<sup>II-14</sup> is
- (a) (P=O)(OR<sup>II-15</sup>)<sub>2</sub>,
  - (b) CO(CH<sub>2</sub>)<sub>n</sub>CON(CH<sub>3</sub>)-(CH<sub>2</sub>)<sub>n</sub>SO<sub>3</sub><sup>-</sup>M<sup>+</sup>,
  - (c) an amino acid,
  - (d) C(=O)aryl, or
  - (e) C(=O)C<sub>1-7</sub>alkyl optionally substituted by NR<sup>II-11</sup>R<sup>II-11</sup>, aryl, het, CO<sub>2</sub>H, or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sup>II-15</sup>;
- R<sup>II-15</sup> is
- (a) H, or
  - (b) C<sub>1-7</sub>alkyl;

aryl in Formula II is a phenyl radical or an ortho-fused bicyclic carbocyclic radical wherein at least one ring is aromatic; at each occurrence, aryl may be additionally substituted with one or more halo, CN, CO<sub>2</sub>R<sup>II-11</sup>, SR<sup>II-11</sup>, OR<sup>II-11</sup>, NR<sup>II-11</sup>R<sup>II-11</sup>, C<sub>1-4</sub>alkyl, CF<sub>3</sub>, or C<sub>3-8</sub>cycloalkyl;

het in Formula II is a four- (4), five- (5), six- (6), or seven- (7) membered saturated or unsaturated heterocyclic ring having 1, 2, or 3 heteroatoms selected from the group consisting of O, SO<sub>m</sub>, and NX; wherein X is H, C<sub>1-4</sub>alkyl or absence, wherein het is optionally fused to a benzene ring, or any bicyclic heterocycle group; at each occurrence, het may be additionally substituted with one or more halo, CN, CO<sub>2</sub>R<sup>II-11</sup>, SR<sup>II-11</sup>, OR<sup>II-11</sup>, NR<sup>II-11</sup>R<sup>II-11</sup>, C(=O)R<sup>II-13</sup>, C<sub>1-4</sub>alkyl, CF<sub>3</sub>, C<sub>3-8</sub>cycloalkyl, oxo or oxime; at each occurrence, m is independently 0, 1, or 2; at each occurrence, n is independently 1, 2, 3, 4, 5 or 6; and M is sodium, potassium, or lithium.

15. The method of Claim 14, wherein R<sup>II-1</sup> is Cl.

16. The method of Claim 14, wherein the compound administered is

- (a) N-(4-chlorobenzyl)-2-(hydroxymethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (b) N-(4-chlorobenzyl)-2-(hydroxymethyl)-6-oxo-8-(tetrahydro-2H-pyran-4-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (c) N-(4-chlorobenzyl)-2-(hydroxymethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

- (d) N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (e) N-(4-chlorobenzyl)-2-(2-morpholin-4-ylethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (f) N-(4-chlorobenzyl)-2-[2-(diethylamino)ethyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (g) N-(4-chlorobenzyl)-2-[2-(4-methylpiperazin-1-yl)ethyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (h) N-(4-chlorobenzyl)-2-[2-(2-ethylpiperidin-1-yl)ethyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (i) N-(4-chlorobenzyl)-2-[3-(4-methylpiperazin-1-yl)propyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (j) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-2-(2-piperidin-1-ylethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (k) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-2-(3-morpholin-4-ylpropyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (l) N-(4-chlorobenzyl)-2-[(1,1-dioxido-4-thiomorpholinyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (m) N-(4-chlorobenzyl)-2-[(1,1-dioxido-4-thiomorpholinyl)methyl]-1-iodo-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

- (n) N-(4-chlorobenzyl)-2-(3-hydroxypropyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (o) 2-{[(aminocarbonyl)amino]methyl}-N-(4-chlorobenzyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (p) N-(4-chlorobenzyl)-2-[(1R)-1-hydroxyethyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (q) N-(4-chlorobenzyl)-2-(methoxymethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (r) N-(4-chlorobenzyl)-2-[(ethylsulfanyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (s) N-(4-chlorobenzyl)-8-(4-morpholinylmethyl)-6-oxo-2-[(phenylsulfanyl)methyl]-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (t) N-(4-chlorobenzyl)-2-[(methylamino)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (u) N-(4-chlorobenzyl)-2-[(dimethylamino)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (v) N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide; or
- (w) N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-6-oxo-8-(tetrahydro-2H-pyran-4-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide, or a pharmaceutically acceptable salt thereof.

17. The method of Claim 14, wherein the compound administered is

- (a) N-(4-chlorobenzyl)-2-(hydroxymethyl)-6-oxo-8-(tetrahydro-2H-pyran-4-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (b) N-(4-chlorobenzyl)-2-(hydroxymethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (c) N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (d) N-(4-chlorobenzyl)-2-(2-morpholin-4-ylethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (e) N-(4-chlorobenzyl)-2-[(1,1-dioxido-4-thiomorpholinyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (f) N-(4-chlorobenzyl)-2-[(1,1-dioxido-4-thiomorpholinyl)methyl]-1-iodo-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (g) N-(4-chlorobenzyl)-2-(3-hydroxypropyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (h) 2-[(aminocarbonyl)amino]methyl-N-(4-chlorobenzyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (i) N-(4-chlorobenzyl)-2-[(1R)-1-hydroxyethyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

- (j) N-(4-chlorobenzyl)-2-(methoxymethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (k) N-(4-chlorobenzyl)-2-[(ethylsulfanyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (l) N-(4-chlorobenzyl)-8-(4-morpholinylmethyl)-6-oxo-2-[(phenylsulfanyl)methyl]-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (m) N-(4-chlorobenzyl)-2-[(methylamino)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (n) N-(4-chlorobenzyl)-2-[(dimethylamino)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide; or
- (o) N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-6-oxo-8-(tetrahydro-2H-pyran-4-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide, or a pharmaceutically acceptable salt thereof.

18. The method of Claim 14, wherein the compound is

- (gg) N-(4-chlorobenzyl)-2-(hydroxymethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (hh) N-(4-chlorobenzyl)-2-(hydroxymethyl)-6-oxo-8-(tetrahydro-2H-pyran-4-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (ii) N-(4-chlorobenzyl)-2-(hydroxymethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (jj) N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

- (kk) N-(4-chlorobenzyl)-2-(2-morpholin-4-ylethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (ll) N-(4-chlorobenzyl)-2-[2-(diethylamino)ethyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (mm) N-(4-chlorobenzyl)-2-[2-(4-methylpiperazin-1-yl)ethyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (nn) N-(4-chlorobenzyl)-2-[2-(2-ethylpiperidin-1-yl)ethyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (oo) N-(4-chlorobenzyl)-2-[3-(4-methylpiperazin-1-yl)propyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (pp) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-2-(2-piperidin-1-ylethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (qq) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-2-(3-morpholin-4-ylpropyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (rr) N-(4-chlorobenzyl)-2-[(1,1-dioxido-4-thiomorpholinyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (ss) N-(4-chlorobenzyl)-2-[(1,1-dioxido-4-thiomorpholinyl)methyl]-1-iodo-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;
- (tt) N-(4-chlorobenzyl)-2-(3-hydroxypropyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(uu) 2-[[ (aminocarbonyl) amino]methyl]-N-(4-chlorobenzyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(vv) N-(4-chlorobenzyl)-2-[(1R)-1-hydroxyethyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(ww) N-(4-chlorobenzyl)-2-(methoxymethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(xx) N-(4-chlorobenzyl)-2-[(ethylsulfanyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(yy) N-(4-chlorobenzyl)-8-(4-morpholinylmethyl)-6-oxo-2-[(phenylsulfanyl)methyl]-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(zz) N-(4-chlorobenzyl)-2-[(methylamino)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(aaa) N-(4-chlorobenzyl)-2-[(dimethylamino)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(bbb) N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(ccc) N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-6-oxo-8-(tetrahydro-2H-pyran-4-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(ddd) N-(4-chlorobenzyl)-2-[2-hydroxy-1-(hydroxymethyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;



(eee) N-(4-chlorobenzyl)-2-[1,2-dihydroxy-1-(hydroxymethyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(fff) N-(4-chlorobenzyl)-2-[(ethylsulfonyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(ggg) N-(4-chlorobenzyl)-2-[(ethylsulfinyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(hhh) 2-{[bis(2-hydroxyethyl)amino]methyl}-N-(4-chlorobenzyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(iii) N-(4-chlorobenzyl)-2-[(2-hydroxyethoxy)methyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(jjj) N-(4-chlorobenzyl)-2-(1,2-dihydroxyethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(kkk) N-(4-chlorobenzyl)-8-(4-morpholinylmethyl)-6-oxo-2-(1,2,3-trihydroxypropyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(lll) N-(4-chlorobenzyl)-2-[3-hydroxy-2-(hydroxymethyl)propyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(mmm) N-(4-chlorobenzyl)-1-(hydroxymethyl)-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(nnn) N-(4-chlorobenzyl)-1-(2-hydroxyethyl)-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(ooo) N-(4-chlorobenzyl)-1-(3-hydroxypropyl)-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(ppp) N-(4-chlorobenzyl)-1-(2-morpholin-4-ylethyl)-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(qqq) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-1-(2-thiomorpholin-4-ylethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(rrr) N-(4-chlorobenzyl)-1-[2-(1,1-dioxidothiomorpholin-4-yl)ethyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(sss) N-(4-chlorobenzyl)-1-[2-(4-methylpiperazin-1-yl)ethyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(ttt) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-1-(2-piperazin-1-ylethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(uuu) 1-[(acetylamino)methyl]-N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(vvv) N-(4-chlorobenzyl)-1-[(1S)-1-hydroxyethyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(www) N-(4-chlorobenzyl)-1-(1H-imidazol-1-ylmethyl)-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(xxx) 1-(1H-1,2,3-benzotriazol-1-ylmethyl)-N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(yyy) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-1-(pyridin-3-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(zzz) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-1-[(3-(trifluoromethyl)phenyl)amino]carbonyl amino]methyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(aaaa) N-(4-chlorobenzyl)-8-(4-morpholinylmethyl)-6-oxo-2-[2-(3-oxo-1-azetidiny)ethyl]-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(bbbb) N-(4-chlorobenzyl)-2-[2-(3-hydroxy-1-azetidiny)ethyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(cccc) N-(4-chlorobenzyl)-2-(2,3-dihydroxypropyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(dddd) N-(4-chlorobenzyl)-2-[(1S)-1-hydroxyethyl]-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(eeee) N-(4-chlorobenzyl)-2-[2-(1H-imidazol-1-yl)ethyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(ffff) N-(4-chlorobenzyl)-2-[2-(1H-imidazol-2-yl)ethyl]-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(gggg) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-2-[2-(4H-1,2,4-triazol-3-yl)ethyl]-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(hhhh) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-2-[2-(1H-tetraazol-5-yl)ethyl]-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide;

(iiii) N-(4-chlorobenzyl)-8-(morpholin-4-ylmethyl)-6-oxo-2-(2-piperazin-1-ylethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide; or

(jjjj) tert-butyl 4-{2-[5-{[(4-chlorobenzyl)amino]carbonyl}-8-(morpholin-4-ylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinolin-2-yl]ethyl}piperazine-1-carboxylate, or a pharmaceutically acceptable salt thereof.

19. The method of Claim 14, wherein the compound administered is N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-6-oxo-8-(tetrahydro-2H-pyran-4-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide, or a pharmaceutically acceptable salt thereof.

20. The method of Claim 14, wherein the compound administered is N-(4-chlorobenzyl)-2-(hydroxymethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide, or a pharmaceutically acceptable salt thereof.

21. The method of Claim 14, wherein the compound administered is N-(4-chlorobenzyl)-2-(2-hydroxyethyl)-8-(4-morpholinylmethyl)-6-oxo-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide, or a pharmaceutically acceptable salt thereof.

22. The method of Claim 14, wherein the compound administered is N-(4-chlorobenzyl)-2-(hydroxymethyl)-6-oxo-8-(tetrahydro-2H-pyran-4-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide, or a pharmaceutically acceptable salt thereof.

23. The method of Claim 1, wherein the compound is administered orally, parenterally or topically.

24. The method of Claim 1, wherein the compound is administered in an amount of from about 0.1 to about 300 mg/kg of body weight.

25. The method of Claim 1, wherein the compound is administered in an amount of from about 1 to about 30 mg/kg of body weight.

26. The method of Claim 1, wherein said mammal is a human.

27. The method of Claim 1, wherein said mammal is an animal.